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Dec 20, 2002

DERWENT-ACC-NO: 1999-312390  
DERWENT-WEEK: 200309  
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TITLE: Use of bis(resorcinyl)triazine UV absorbers in cosmetic, pharmaceutical and veterinary formulations

INVENTOR: HUEGLIN, D; LUTHER, H ; REINEHR, D ; HUGLIN, D

PATENT-ASSIGNEE:

ASSIGNEE

CIBA SPECIALTY CHEM HOLDING INC

CIBA SPECIALTY CHEM CORP

CODE

CIBA

CIBA

PRIORITY-DATA: 1997EP-0810585 (August 20, 1997)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
NZ 502708 A <i>earliest publ.</i>	December 20, 2002		000	A61K007/42
WO 9908653 A1	February 25, 1999	E	028	A61K007/42
AU 9888644 A	March 8, 1999		000	
EP 1005325 A1	June 7, 2000	E	000	A61K007/42
BR 9811958 A	August 15, 2000		000	A61K007/42
CN 1267213 A	September 20, 2000		000	A61K007/42
US 6221342 B1	April 24, 2001		000	A61K007/42
KR 2001023050 A	March 26, 2001		000	A61K007/42
JP 2001515025 W	September 18, 2001		034	A61K007/42
AU 747205 B	May 9, 2002		000	A61K007/42

DESIGNATED-STATES: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE  
GH GM HR HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL  
PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW AT BE CH CY DE DK EA ES FI  
FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG ZW CH DE DK ES FR GB IT LI NL

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
NZ 502708A	August 8, 1998	1998NZ-0502708	
NZ 502708A	August 8, 1998	1998WO-EP05042	
NZ 502708A		WO 9908653	Based on
WO 9908653A1	August 8, 1998	1998WO-EP05042	
AU 9888644A	August 8, 1998	1998AU-0088644	
AU 9888644A		WO 9908653	Based on
EP 1005325A1	August 8, 1998	1998EP-0940270	
EP 1005325A1	August 8, 1998	1998WO-EP05042	
EP 1005325A1		WO 9908653	Based on
BR 9811958A	August 8, 1998	1998BR-0011958	
BR 9811958A	August 8, 1998	1998WO-EP05042	
BR 9811958A		WO 9908653	Based on
CN 1267213A	August 8, 1998	1998CN-0808291	
US 6221342B1	August 8, 1998	1998WO-EP05042	
US 6221342B1	February 17, 2000	2000US-0485948	
US 6221342B1		WO 9908653	Based on
KR2001023050A	February 18, 2000	2000KR-0701667	
JP2001515025W	August 8, 1998	1998WO-EP05042	
JP2001515025W	August 8, 1998	2000JP-0509394	
JP2001515025W		WO 9908653	Based on
AU 747205B	August 8, 1998	1998AU-0088644	
AU 747205B		AU 9888644	Previous Publ.
AU 747205B		WO 9908653	Based on

INT-CL (IPC): A61 K 7/00; A61 K 7/42; A61 K 7/44; A61 K 7/48; A61 K 31/53; C09 K 3/00

ABSTRACTED-PUB-NO: US 6221342B  
BASIC-ABSTRACT:

NOVELTY - Use of bis(resorciny)l triazine UV absorbers in the protection of human and animal skin and hair from the damaging effects of UV radiation is new.

DETAILED DESCRIPTION - The bis(resorciny)l triazines are of formula (I):

R1, R2 = H, branched 5-18C alkyl, 2-18C alkenyl, CH<sub>2</sub>CH(OH)CH<sub>2</sub>OT<sub>1</sub> or a group of formula (i) or (ii);

-(CH<sub>2</sub>)<sub>m1</sub>-C(O)-R<sub>5</sub> (i);

R<sub>3</sub>, R<sub>4</sub> = H or 1-5C alkyl;

R<sub>5</sub> = hydroxy, 1-5C alkoxy (optionally substituted by one or more hydroxy), amino, mono- or di(1-5C) alkylamino, M or a group of formula (iii)-(viii);

R<sub>11</sub>-N+(R<sub>12</sub>)(R<sub>10</sub>)-(CH<sub>2</sub>)<sub>m2</sub>-O- (vi)

R<sub>11</sub>-N+(R<sub>10</sub>)(R<sub>12</sub>)-O- (vii)

R<sub>6</sub> = single bond, 1-4C alkylene, -C<sub>m1</sub>H<sub>2</sub>m<sub>1</sub>- or -C<sub>m1</sub>H<sub>12</sub>m<sub>1</sub>O-; R<sub>7</sub>-R<sub>9</sub> = 1-18C alkyl, 1-8C alkoxy or a group of formula, -O-Si(R<sub>14</sub>)(R<sub>14</sub>)-R<sub>14</sub>, (ix)

R<sub>10</sub>-R<sub>11</sub> = 1-14C alkyl (optionally substituted by one or more hydroxy);

R<sub>13</sub> = H, M, 1-15C alkyl or (CH<sub>2</sub>)<sub>m2</sub>OT<sub>1</sub>;

R<sub>14</sub> = 1-5C alkyl;

M = metal cation;

T1 = H or 1-8C alkyl;

m1 = 1-3; m2 = 2-14; and p1 = 0-5.

ACTIVITY - UV skin protectives; UV hair protectives; sunscreen. Emulsion comprising:

(A) A mixture consisting of:

(1) triazine UV absorber of formula (Ia) (4 g),

(2) sesame oil (10 g),

(3) glyceryl stearate (4 g),

(4) stearic acid (1 g),

(5) cetyl alcohol (0.5 g),

Polysorbate 20(RTM) (0.2 g) and

(B) A mixture consisting of:

(1) propylene glycol (4 g),

(2) propylparaben (0.05 g),

(3) methylparaben (0.15 g),

(4) triethanolamine (0.1 g),

Carbomer 934 (RTM) (0.1 g),

(5) and water (to 100 ml) examined for sunscreen factor and photostability.

At a concentration of 4%, (Ia) showed a sunscreen factor of 7.1 according to Diffey and Robson and a photostability of 6000 (h) (as half-life period of the photodegradation in D65 light in ethanolic solution). The results demonstrated that the active substance has high photostability and that a good sunscreen factor may be achieved even at low concentration.

MECHANISM OF ACTION - UVA absorber; UVB absorber; light stabilizer.

USE - Used in cosmetic formulations to protect human and animal skin and hair from the damaging effects of UV radiation (claimed). Used as UV filters to protect ultraviolet-sensitive organic materials, particularly human and animal skin and hair from harmful effects of UV radiation. They are powerful UVA absorbers with fractions in the UVB range. Used as light stabilizers in cosmetic, pharmaceutical and veterinary compositions.

ADVANTAGE - Compound (I) can be produced at low cost and is stable in dissolved and micronized states. Provides excellent skin protection.

ABSTRACTED-PUB-NO:

WO 9908653A

EQUIVALENT-ABSTRACTS:

NOVELTY - Use of bis(resorciny)l triazine UV absorbers in the protection of human and animal skin and hair from the damaging effects of UV radiation is new.

DETAILED DESCRIPTION - The bis(resorciny)l triazines are of formula (I):

R1, R2 = H, branched 5-18C alkyl, 2-18C alkenyl, CH<sub>2</sub>CH(OH)CH<sub>2</sub>OT1 or a group of formula (i) or (ii);

-(CH<sub>2</sub>)<sub>m1</sub>-C(O)-R5 (i);

R3, R4 = H or 1-5C alkyl;

R5 = hydroxy, 1-5C alkoxy (optionally substituted by one or more hydroxy), amino, mono- or di(1-5C) alkylamino, M or a group of formula (iii)-(viii);

R11-N+(R12) (R10) - (CH<sub>2</sub>)<sub>m2</sub>-O- (vi)

R11-N+(R10) (R12) -O- (vii)

R6 = single bond, 1-4C alkylene, -Cm<sub>1</sub>H<sub>2</sub>m<sub>1</sub>- or -Cm<sub>1</sub>H<sub>12</sub>m<sub>1</sub>O-; R7-R9 = 1-18C alkyl, 1-8C alkoxy or a group of formula, -O-Si(R14) (R14) -R14, (ix)

R10-R11 = 1-14C alkyl (optionally substituted by one or more hydroxy);

R13 = H, M, 1-15C alkyl or (CH<sub>2</sub>)<sub>m2</sub>OT<sub>1</sub>;

R14 = 1-5C alkyl;

M = metal cation;

T<sub>1</sub> = H or 1-8C alkyl;

m<sub>1</sub> = 1-3; m<sub>2</sub> = 2-14; and p<sub>1</sub> = 0-5.

ACTIVITY - UV skin protectives; UV hair protectives; sunscreen. Emulsion comprising:

(A) A mixture consisting of:

- (1) triazine UV absorber of formula (Ia) (4 g),
- (2) sesame oil (10 g),
- (3) glyceryl stearate (4 g),
- (4) stearic acid (1 g),
- (5) cetyl alcohol (0.5 g),

Polysorbate 20(RTM) (0.2 g) and

(B) A mixture consisting of:

- (1) propylene glycol (4 g),
- (2) propylparaben (0.05 g),
- (3) methylparaben (0.15 g),
- (4) triethanolamine (0.1 g),

Carbomer 934 (RTM) (0.1 g),

(5) and water (to 100 ml) examined for sunscreen factor and photostability.

At a concentration of 4%, (Ia) showed a sunscreen factor of 7.1 according to Diffey and Robson and a photostability of 6000 (h) (as half-life period of the photodegradation in D65 light in ethanolic solution). The results demonstrated that the active substance has high photostability and that a good sunscreen factor may be achieved even at low concentration.

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ultraviolet-sensitive organic materials, particularly human and animal skin and hair from harmful effects of UV radiation. They are powerful UVA absorbers with fractions in the UVB range. Used as light stabilizers in cosmetic, pharmaceutical and veterinary compositions.

ADVANTAGE - Compound (I) can be produced at low cost and is stable in dissolved and micronized states. Provides excellent skin protection.

TITLE-TERMS: DI TRIAZINE ULTRAVIOLET ABSORB COSMETIC PHARMACEUTICAL VETERINARY FORMULATION

DERWENT-CLASS: A26 A96 B07 C07 D21 E13 E14

CPI-CODES: A12-V04A; A12-V04C; B07-D13; B14-R05; C07-D13; C14-R05; D08-B09A; E07-D13B;

CHEMICAL-CODES:

Chemical Indexing M2 \*01\*

Fragmentation Code

F012 F014 F016 F580 G010 G015 G019 G100 H4 H402  
H442 H5 H542 H8 J0 J012 J1 J172 M1 M113  
M119 M280 M311 M322 M342 M349 M381 M392 M413 M510  
M521 M533 M540 M781 M904 M905 Q263

Ring Index

00212

Specific Compounds

A08CIK A08CIU

Chemical Indexing M3 \*01\*

Fragmentation Code

F012 F014 F016 F580 G010 G015 G019 G100 H4 H402  
H442 H5 H542 H8 J0 J012 J1 J172 M1 M113  
M119 M280 M311 M322 M342 M349 M381 M392 M413 M510  
M521 M533 M540 M781 M904 M905 Q263

Ring Index

00212

Specific Compounds

A08CIK A08CIU

Chemical Indexing M2 \*02\*

Fragmentation Code

F012 F014 F016 F580 G010 G015 G019 G100 H4 H402  
H442 H5 H542 H8 M1 M113 M119 M220 M222 M232  
M272 M282 M320 M413 M510 M521 M533 M540 M781 M904  
M905 Q263

Ring Index

00212

Specific Compounds

A08CNK A08CNU

Chemical Indexing M3 \*02\*

Fragmentation Code

F012 F014 F016 F580 G010 G015 G019 G100 H4 H402  
H442 H5 H542 H8 M1 M113 M119 M220 M222 M232  
M272 M282 M320 M413 M510 M521 M533 M540 M781 M904  
M905 Q263

Ring Index

00212

Specific Compounds

A08CNK A08CNU

Chemical Indexing M2 \*03\*

Fragmentation Code

B614 B711 B712 B713 B720 B741 B742 B743 B744 B798  
B799 B831 B832 B833 D012 D016 D019 D025 D029 D120

D199 F012 F013 F014 F015 F016 F019 F123 F199 F580  
G010 G011 G012 G013 G014 G015 G016 G019 G036 G038  
G039 G100 G113 G562 G599 H181 H182 H4 H402 H403  
H404 H405 H423 H424 H442 H443 H444 H481 H482 H483  
H484 H541 H542 H581 H582 H713 H716 H721 H722 H725  
H8 J011 J012 J013 J014 J131 J132 J171 J172 J231  
J232 J241 J242 J271 J272 J321 J322 J341 J342 J371  
J372 J373 L640 L660 L699 L722 L724 M1 M113 M119  
M210 M211 M212 M213 M214 M215 M216 M220 M221 M222  
M223 M224 M225 M226 M231 M232 M233 M240 M250 M272  
M273 M280 M281 M282 M283 M311 M312 M313 M314 M315  
M316 M320 M321 M322 M323 M331 M332 M333 M340 M342  
M343 M349 M361 M373 M381 M383 M391 M392 M393 M411  
M412 M413 M510 M511 M512 M521 M522 M523 M533 M540  
M541 M542 M781 M904 M905 Q263  
Ring Index  
00212  
Markush Compounds  
200002-69901-K 200002-69901-U

## Chemical Indexing M3 \*03\*

## Fragmentation Code

B614 B711 B712 B713 B720 B741 B742 B743 B744 B798  
B799 B831 B832 B833 D012 D016 D019 D025 D029 D120  
D199 F012 F013 F014 F015 F016 F019 F123 F199 F580  
G010 G011 G012 G013 G014 G015 G016 G019 G036 G038  
G039 G100 G113 G562 G599 H181 H182 H4 H402 H403  
H404 H405 H423 H424 H442 H443 H444 H481 H482 H483  
H484 H541 H542 H581 H582 H713 H716 H721 H722 H725  
H8 J011 J012 J013 J014 J131 J132 J171 J172 J231  
J232 J241 J242 J271 J272 J321 J322 J341 J342 J371  
J372 J373 L640 L660 L699 L722 L724 M1 M113 M119  
M210 M211 M212 M213 M214 M215 M216 M220 M221 M222  
M223 M224 M225 M226 M231 M232 M233 M240 M250 M272  
M273 M280 M281 M282 M283 M311 M312 M313 M314 M315  
M316 M320 M321 M322 M323 M331 M332 M333 M340 M342  
M343 M349 M361 M373 M381 M383 M391 M392 M393 M411  
M412 M413 M510 M511 M512 M521 M522 M523 M533 M540  
M541 M542 M781 M904 M905 Q263  
Ring Index  
00212  
Markush Compounds  
200002-69901-K 200002-69901-U

## ENHANCED-POLYMER-INDEXING:

Polymer Index [1.1] 018 ; D11 D10 D50 D82 D83 D84 D85 D86 D87 D88 D89 D90 D91 D92 D93 D94  
D95 F86 F87 F81 ; P1445\*R F81 Si 4A ; M9999 M2153\*R ; M9999 M2835 ; M9999 M2379\*R ; M9999  
M2813 ; M9999 M2324 Polymer Index [1.2] 018 ; ND01 ; Q9999 Q9176 Q9165 ; Q9999 Q9187 Q9165 ;  
Q9999 Q9336 ; K9869 K9847 K9790 ; Q9999 Q9165\*R ; Q9999 Q7987\*R

## SECONDARY-ACC-NO:

CPI Secondary Accession Numbers: C1999-092167